

SEARCH REQUEST FORM
(STIC)

Requestor's Name: David Lukton Examiner number: 71263 Date: 10/6/06

Art Unit: 1654 Phone number: 571-272-0952 Serial Number:
09-854816

Mail Box: 3-C-18 Examiner Rm: 3-D-19 Results format: paper

* * * * *

Title: CONSTRAINED HELICAL PEPTIDES AND METHODS OF MAKING SAME

Applicants: BRAISTED, ANDREW C.; JUDICE, J. KEVIN; MCDOWELL, ROBERT S.;
PHELAN, J. CHRISTOPHER; STAROVASNIK, MELISSA A.; WELLS, JAMES A.;

Earliest Priority Date: 11/6/96

* * * * *

Applicants are claiming cyclic peptides as shown on the attached sheet.

R^1 = anything;

R^2 = anything;

R^3 = anything;

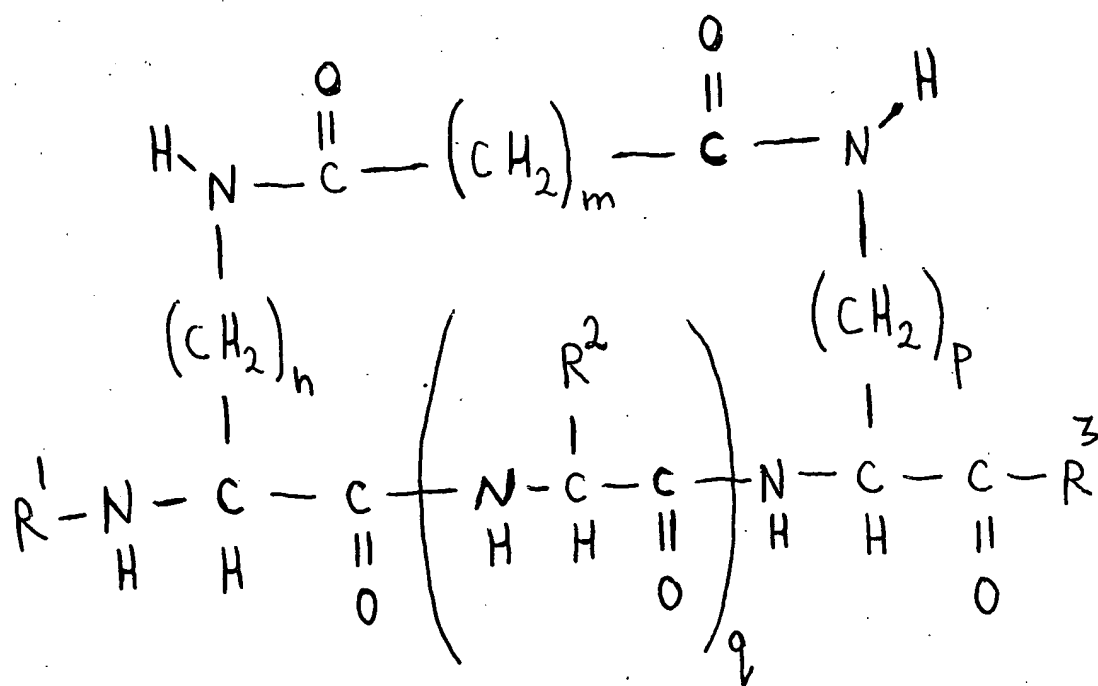
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p = an integer of 3 - 4

m = an integer of 1 - 6

q = an integer of 6 (no more and no less)

09/854816



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 DICTIONARY FILE UPDATES: 9 OCT 2006 HIGHEST RN 910025-51-3

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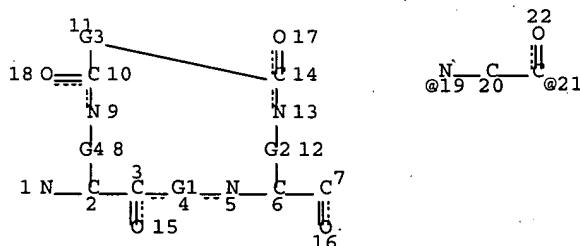
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=> d que sta l6

L4 STR



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REP G4=(1-4) C

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

L6 1 SEA.FILE=REGISTRY SSS FUL L4

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1 ANSWERS

SEARCH TIME: 00.00.01

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FILE COVERS 1907 - 10 Oct 2006 VOL 145 ISS 16
FILE LAST UPDATED: 8 Oct 2006 (20061008/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d bib abs hitstr retable l14 tot

L14 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:251292 HCAPLUS Full-text

DN 137:211058

TI Exploration of the DTrp-NMeLys Motif in the Search for potent somatostatin antagonists

AU Rajeswaran, W. G.; Murphy, William A.; Taylor, John E.; Coy, David H.

CS Department of Medicine, SL 53, Peptide Research Labs, Tulane University Health Sciences Center, New Orleans, LA, 70112, USA

SO Bioorganic & Medicinal Chemistry (2002), 10(6), 2023-2029
CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier Science Ltd.

DT Journal

LA English

AB Previous studies from this laboratory demonstrated that N-methylation at Lys5 residue in somatostatin octapeptide antagonist analogs increased the GH release inhibition potency by as much as 300%. The authors have now further investigated N-methylation of this Lys5 residue in conjunction with a number of N- and C-terminal modifications previously found to give highly potent somatostatin receptor antagonists. Synthetic analogs were tested in a functional assay for their ability to inhibit somatostatin-inhibited GH release from rat pituitary cells in culture and to displace 125I-labeled somatostatin from CHO cells transfected with the five known human somatostatin receptors. Several interesting observations resulted from the study. Replacement of lipophilic Nal8 at the C-terminus with a hydrophilic His8 resulted in the increased affinity and selectivity for type 2 receptor to give the most potent antagonist analog yet discovered (K_i, 1.5 nM), although in the rat pituitary cells inhibitory activity on somatostatin inhibited GH release decreased somewhat. A His3 substitution within the cyclic portion of the analogs retained pituitary cell potency and affinity for type 2 receptor as did substitution with Bip8 and Fpa1. Replacement of Cpa1 with Iph1 did not effect the affinity for type 2 receptor significantly, but did decrease the effects on rat cell GH release. Iph3 within-ring substitution increased the selectivity for sst2 appreciably although the affinity for that receptor was considerably decreased. Substitution of Npa3 resulted in good selectivity for sst2 receptor. Replacement of Nal8 with D-Trp8 also increased the selectivity for type 2 receptor. Use of a 'bivalent ligand' approach in which two peptides were joined by 4,4'-biphenyldicarbonyl as a spacer destroyed the affinity for all the subtypes, however, the bivalent ligand formed with the Ahp spacer displayed significant affinity and high selectivity for the type 2 receptor.

IT 455333-34-3P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(exploration of DTrp-NMeLys motif in search for potent human somatostatin receptor antagonists)

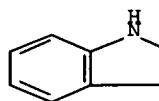
RN 455333-34-3 HCAPLUS

CN L-Alaninamide, 3-[(3-carboxy-1-oxopropyl)amino]-L-alanyl-D-cysteinyl-L-tyrosyl-D-tryptophyl-N2-methyl-L-lysyl-L-threonyl-L-cysteinyl-3-amino-,

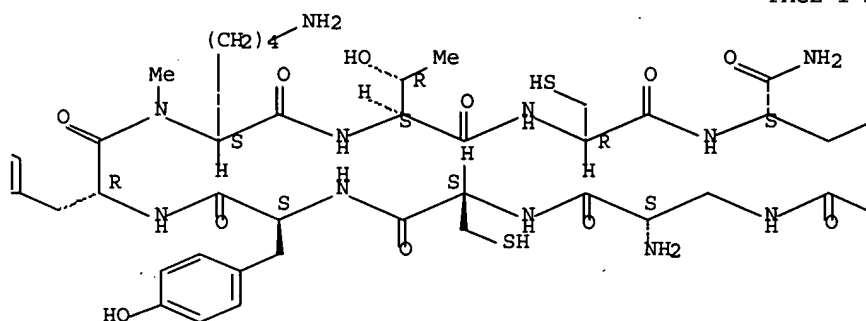
(1→8)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

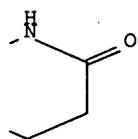
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RETABLE

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Bass, R	1997	51	170	Mol Pharmacol	HCAPLUS
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Epelbaum, J	1986	27	63	Prog Neurobiol	HCAPLUS
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Mammen, M	1998	37	2755	Angew Chem, Int Ed	HCAPLUS
Miller, S	1997	119	2301	J Am Chem Soc	HCAPLUS
Murphy, W	1989	2	128	Peptide Res	HCAPLUS

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Reisine, T	1995	16	427	Endocrine Rev	HCAPLUS
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Rohrer, L	1993	90	4196	Proc Natl Acad Sci U	HCAPLUS
Rohrer, S	1998	282	737	Science	HCAPLUS
Rossowski, W	1998	125	1081	Br J Pharmacol	HCAPLUS
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Veber, D	1979	280	512	Nature	HCAPLUS
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Yamada, Y	1992	42	2136	Mol Pharmacol	HCAPLUS
Yamada, Y	1992	89	251	Proc Natl Acad Sci U	HCAPLUS
Yasuda, K	1992	267	20422	J Biol Chem	HCAPLUS

L14 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:573536 HCAPLUS Full-text

DN 135:122757

TI Preparation of constrained helical peptides

IN Braisted, Andrew C.; Judice, J. Kevin; Mcdowell, Robert S.; Phelan, J. Christopher; Starovasnik, Melissa A.; Wells, James A.

PA Genentech, Inc., USA

SO U.S., 175 pp., Cont.-in-part of U.S. Ser. No. 876,698, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 149

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OS MARPAT 135:122757

AB Cyclic peptides, e.g., $[\text{NHCO}(\text{CH}_2)_m\text{CH}(\text{NHX})\text{CO-Z-NHCH}(\text{COYS})(\text{CH}_2)_p\text{CONH}](\text{CH}_2)_n$ [(CH₂)_n is attached to NH end groups, S is absent or is a macromol., X is H or is any amino acid or amino acid sequence, Y is absent or is hydroxyl if S is absent or is any amino acid or amino acid sequence, Z is any amino acid sequence consisting of six amino acids, m and p are 0-6, n is an integer greater than zero], with constrained region(s) having an α -helical conformation, were prepared. Constrained helical peptides having amino acid sequences from HIV gp41 are provided, as is their use in preparing antibodies that prevent viral membrane fusion. Thus, cyclic peptide FNM(5)QRRFY(6)ALH (5 and 6 represent glutamic acid residues cyclized via 1,5-pentanediamine) was prepared by standard solid phase protocols.

IT 137363-78-1P 185335-95-9P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

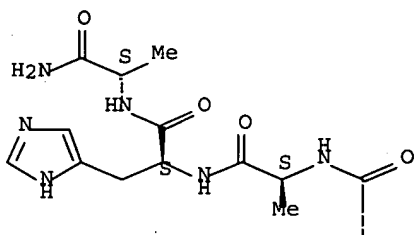
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RN 137363-78-1 HCAPLUS

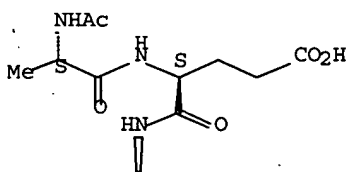
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Absolute stereochemistry.

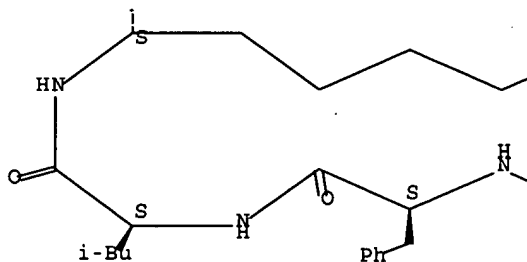
PAGE 1-A



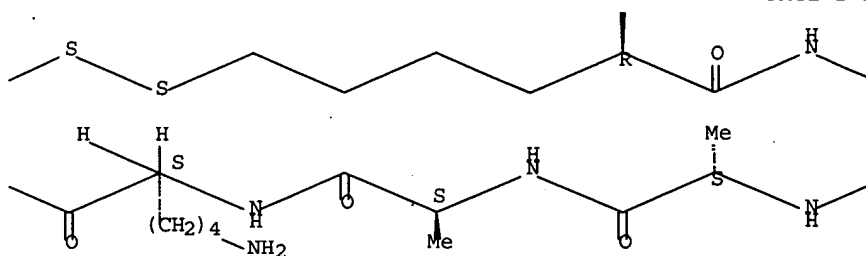
PAGE 1-B



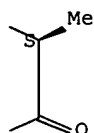
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PAGE 2-B



PAGE 2-C

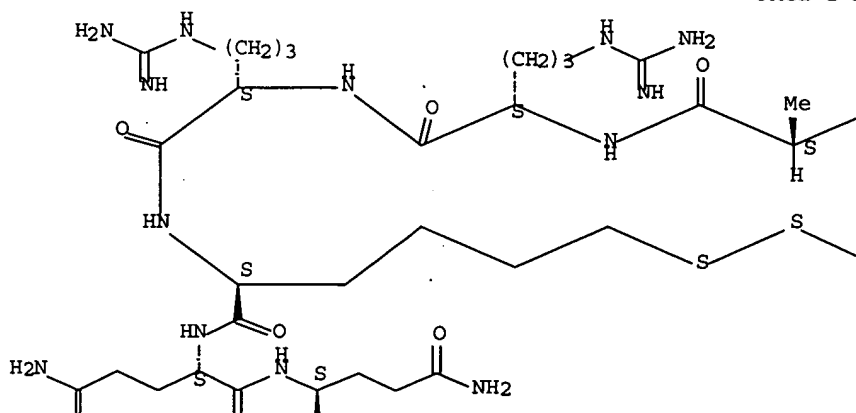


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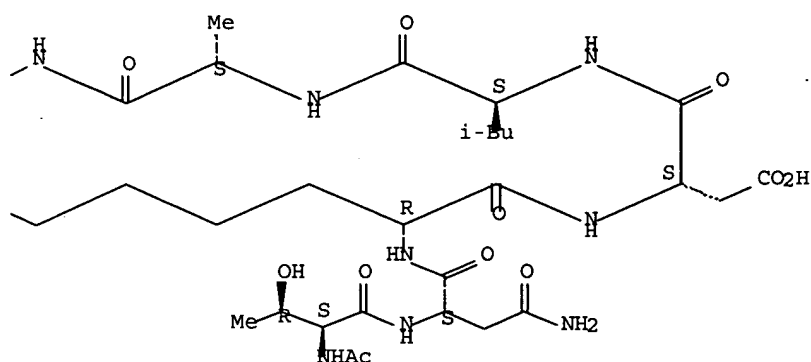
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NAME)

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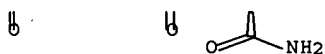
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L14 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1998:323270 HCAPLUS Full-text

DN 129:16388

TI Preparation of constrained helical peptides

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PA Genentech, Inc., USA

SO PCT Int. Appl., 281 pp.

CODEN: PIXXD2

DT Patent

LA English

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2000AU-0017499	A3	19991202
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2000WO-US04914	A	20000224
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2002US-0199464	B1	20020719
2002US-0211858	A1	20020802
2003AU-0261484	A	20031106
2004US-0797366	A1	20040309

AB Cyclic peptides, e.g., $[\text{NHCO}(\text{CH}_2)_m\text{CH}(\text{NHX})\text{CO-Z-NHCH}(\text{COYS})(\text{CH}_2)_p\text{CONH}](\text{CH}_2)_n$ [(CH₂)_n is attached to NH end groups, S is absent or is a macromol., X is H or is any amino acid or amino acid sequence, Y is absent or is hydroxyl if S is absent or is any amino acid or amino acid sequence, Z is any amino acid sequence consisting of six amino acids, m and p are 0-6, n is an integer greater than zero], with constrained region(s) having an α -helical conformation, were prepared. Constrained helical peptides having amino acid sequences from HIV gp41 are provided, as is their use in preparing antibodies that prevent viral membrane fusion. Thus, cyclic peptide FNM(5)QRRFY(6)ALH (5 and 6 represent glutamic acid residues cyclized via 1,5-pentanediamine) was prepared by standard solid phase protocols.

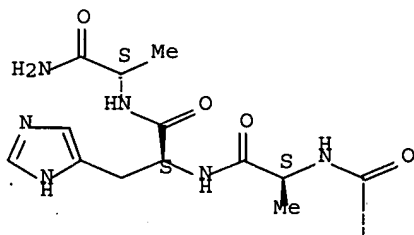
IT 137363-78-1P 185335-95-9P
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 (preparation of constrained helical peptides)

RN 137363-78-1 HCAPLUS

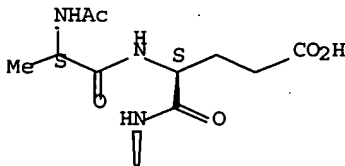
CN L-Alaninamide, N-acetyl-L-alanyl-L- α -glutamyl-6-mercapto-D-norleucyl-L-alanyl-L-alanyl-L-alanyl-L-lysyl-L-phenylalanyl-L-leucyl-6-mercapto-L-norleucyl-L-alanyl-L-histidyl-, cyclic (3 \rightarrow 10)-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

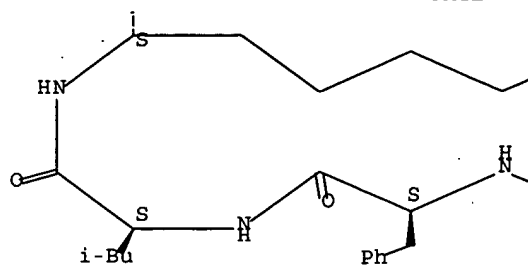
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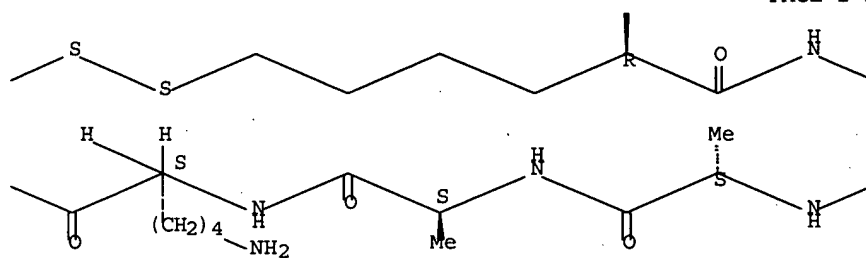
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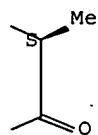
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PAGE 2-B



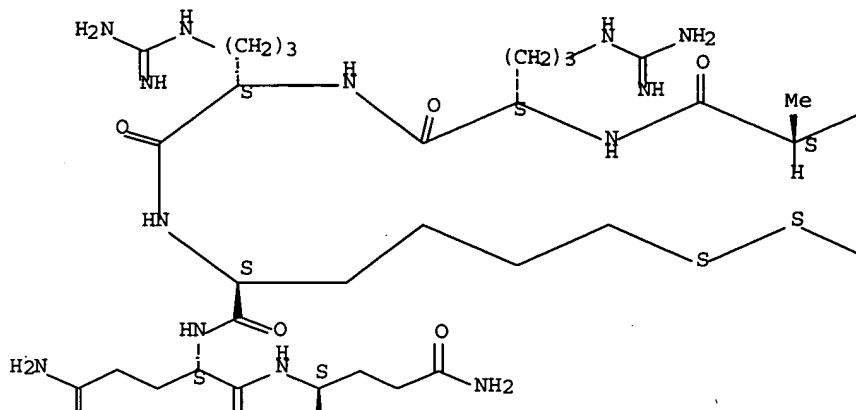
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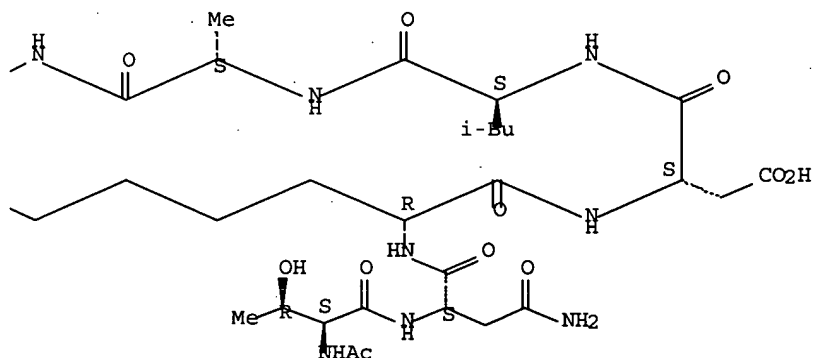
RN 185335-95-9 HCAPLUS
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 L-norleucyl-L-glutaminy-, cyclic (3→10)-disulfide (9CI) (CA INDEX
 NAME)

Absolute stereochemistry.

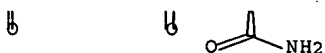
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PAGE 1-B



PAGE 2-A



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Lawless, M	1996	35	13697	BIOCHEMISTRY	HCAPLUS
Phelan, J	1997	119	455	JOURNAL OF THE AMERI	HCAPLUS
Smithkline Beecham Corp	1992			WO---9209625 A	HCAPLUS
Univ Pennsylvania	1995			WO---9534312 A	HCAPLUS
Zhang, X	1997	15	150	NATURE BIOTECHNOLOGY	HCAPLUS

L14 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1997:80130 HCAPLUS Full-text

DN 126:75230

TI A General Method for Constraining Short Peptides to an α -Helical Conformation

AU Phelan, J. Christopher; Skelton, Nicholas J.; Braisted, Andrew C.;

McDowell, Robert S.

CS Department of Bioorganic Chemistry and Department of Protein Engineering,
Genentech Inc., South San Francisco, CA, 94080, USA

SO Journal of the American Chemical Society (1997), 119(3), 455-460
CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

AB A method for constraining short peptides (containing fewer than 20 residues) of an arbitrary sequence to an α -helical conformation (.apprx.100% helical in H₂O at 25 °C) is presented. Gln residues at positions i and i + 7 of the peptides were tethered with an alkanediyl chain between the side chain nitrogen atoms. Peptides containing this tether were readily synthesized on the solid phase by amide formation between an α,ω -diaminoalkane and the side chain carboxylates of Glu residues. The resulting cyclic peptides were studied by NMR and CD and were found to adopt an α -helical conformation in aqueous solution and this α -helix was thermally stable to $\geq 40^\circ$. Corresponding untethered control peptides with N-methylglutamine at the i and i + 7 positions lacked helicity under the same conditions.

IT 137363-78-1P 185335-95-9P

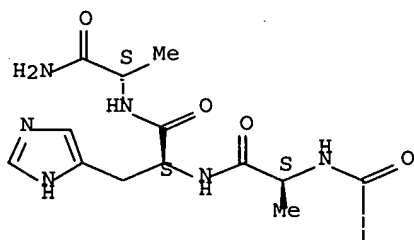
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of short peptides constrained to an α -helical conformation)

RN 137363-78-1 HCAPLUS

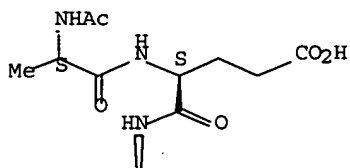
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Absolute stereochemistry.

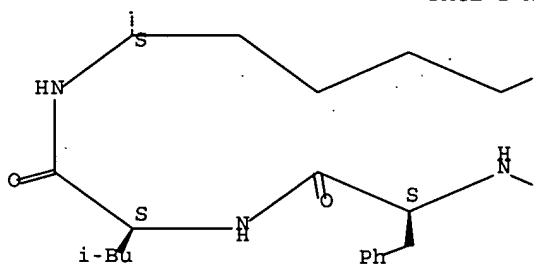
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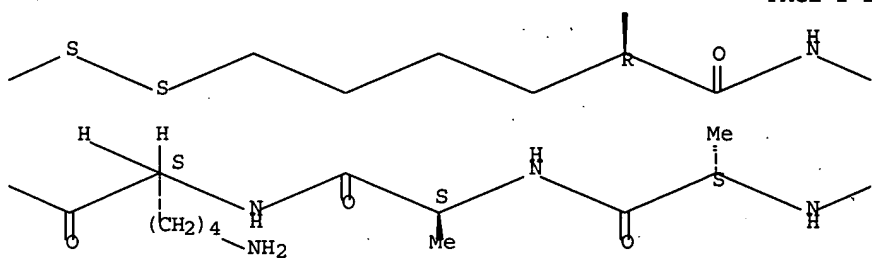
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PAGE 2-B



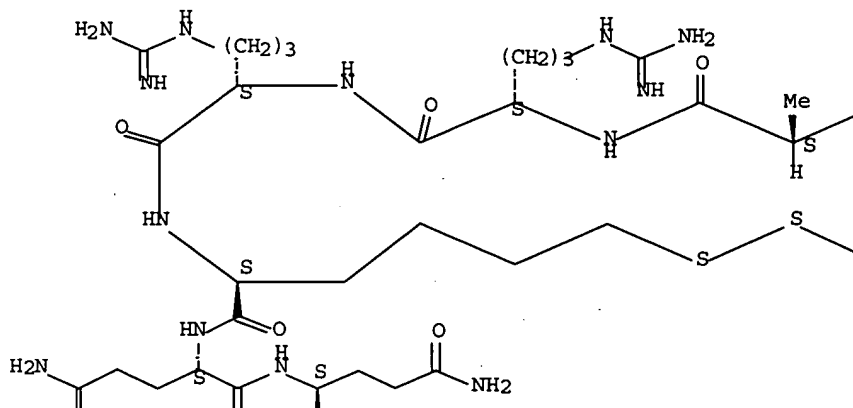
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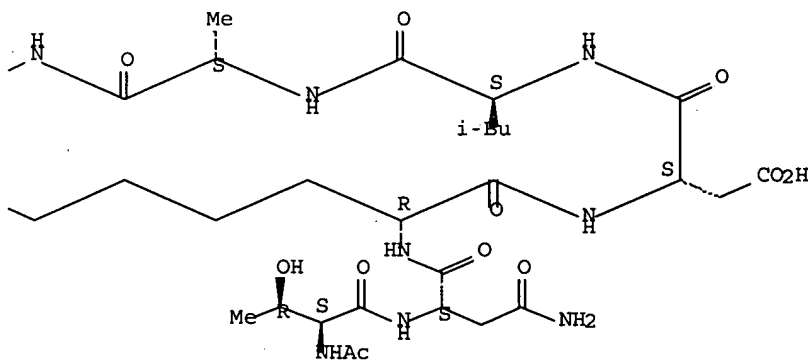
α -aspartyl-L-leucyl-L-alanyl-L-alanyl-L-arginyl-L-arginyl-6-mercapto-L-norleucyl-L-glutamyl-, cyclic (3 \rightarrow 10)-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

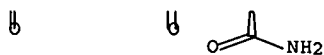
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PAGE 2-A



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Albert, J	1995	34	984	J Am Chem Soc	HCAPLUS
Bernstein, F	1977	112	535	J Mol Biol	HCAPLUS
Bierzynski, A	1982	79	2470	Proc Natl Acad Sci U	HCAPLUS
Blaney, J				DGEOM, QCPE No 590	
Bracken, C	1994	116	6431	J Am Chem Soc	HCAPLUS
Brazil, B				Submitted for public	
Brown, J	1971	10	470	Biochemistry	HCAPLUS

Callewaert, G	1968	1	111	FEBS Lett	HCAPLUS
Callewaert, G	1968	1	111	FEBS Lett	HCAPLUS
Chorev, M	1991	30	5968	Biochemistry	HCAPLUS
Danho, W	1995			Fourteenth American	
Fairman, R	1992	114	5458	J Am Chem Soc	HCAPLUS
Fezoui, Y	1994	91	3675	Proc Natl Acad Sci U	HCAPLUS
Finkelstein, A	1991	10	287	Proteins:Struct, Fun	MEDLINE
Forood, B	1993	90	838	Proc Natl Acad Sci U	HCAPLUS
Ghadiri, M	1990	112	1630	J Am Chem Soc	HCAPLUS
Ghadiri, M	1990	112	9633	J Am Chem Soc	HCAPLUS
Habermann, E	1965	343	192	Biochem Z	HCAPLUS
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Hahn, G	1939	72	1281	Berichte	
Harper, E	1993	30	7605	Biochemistry	
Ho, S	1987	109	6751	J Am Chem Soc	HCAPLUS
Houston, M	1995			Fourteenth American	
Houston, M	1995	1	274	J Pept Sci	HCAPLUS
Huyghues-Despointes, B	1992	31	1476	Biochemistry	HCAPLUS
Jackson, D	1991	113	9391	J Am Chem Soc	HCAPLUS
Kemp, D	1991	56	6672	J Org Chem	HCAPLUS
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Lieberman, M	1991		332	Pept:Chem Biol, Proc	
Lyu, P	1993	32	421	Biochemistry	HCAPLUS
Marqusee, S	1989	86	5286	Proc Natl Acad Sci U	HCAPLUS
Osapay, G	1990	112	6046	J Am Chem Soc	HCAPLUS
Osapay, G	1990	114	6966	J Am Chem Soc	
Ravi, A	1983	105	105	J Am Chem Soc	HCAPLUS
Ruan, F	1990	112	9403	J Am Chem Soc	HCAPLUS
Schollkopf, U	1981	20	798	Angew Chem, Int Ed E	
Scholtz, J	1993	32	9668	Biochemistry	HCAPLUS
Shipolini, R	1967		679	Chem Commun	HCAPLUS
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Shoemaker, K	1987	326	563	Nature	HCAPLUS
Skelton, N				Unpublished observat	
Todd, R	1991	10	156	Proteins:Struct, Fun	HCAPLUS
Wuthrich, K	1986			NMR of Proteins and	
Yu, C	1995			Fourteenth American	
Zhou, H	1994	116	1139	J Am Chem Soc	HCAPLUS

L14 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1991:680537 HCAPLUS Full-text

DN 115:280537

TI General approach to the synthesis of short α -helical peptides

AU Jackson, David Y.; King, David S.; Chmielewski, Jean; Singh, Sunil; Schultz, Peter G.

CS Dep. Chem., Univ. California, Berkeley, CA, 94720, USA

SO Journal of the American Chemical Society (1991), 113(24), 9391-2

CODEN: JACSAT; ISSN: 0002-7863

DT Journal

LA English

OS CASREACT 115:280537

AB Short peptides have been synthesized which contain a single intramol. disulfide bond that stabilizes two helical turns. Peptides containing D- and L-S-(acetamidomethyl)-2-amino-6-mercaptohexanoic acid at the i and i + 7 residue, resp., show only slight α -helicity in the reduced form in aqueous solution. On oxidation, these peptides exhibit a large increase in α -helicity in water both at 0° and 60°. This approach has been used to generate eight and sixteen amino acid peptides with high helicity. Oxidation can be carried out under a wide variety of conditions with peptides that contain a large variety of functional groups.

IT 137363-78-1P

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(preparation and conformation of)

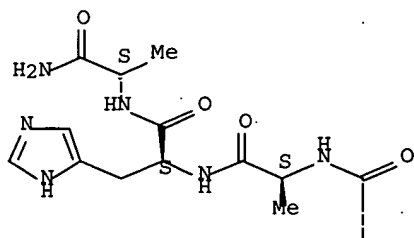
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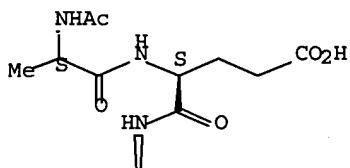
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INDEX NAME)

Absolute stereochemistry.

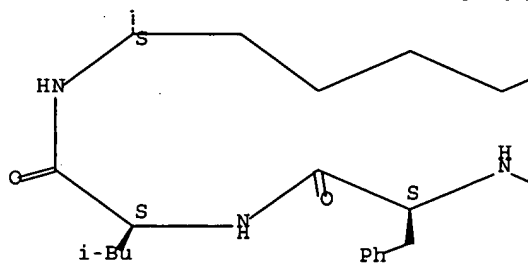
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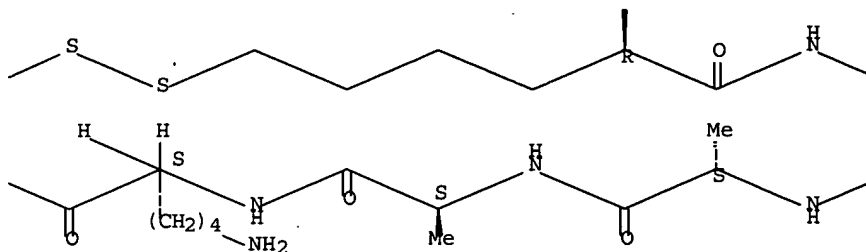
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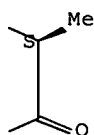
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CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

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L16 ANSWER 1 OF 2 USPATFULL on STN

AN 2003:244455 USPATFULL Full-text

TI Secreted and transmembrane polypeptides and nucleic acids encoding the same

IN Botstein, David, Belmont, CA, UNITED STATES
 Desnoyers, Luc, San Francisco, CA, UNITED STATES
 Ferrara, Napoleone, San Francisco, CA, UNITED STATES
 Fong, Sherman, Alameda, CA, UNITED STATES
 Gao, Wei-Qiang, Palo Alto, CA, UNITED STATES
 Goddard, Audrey, San Francisco, CA, UNITED STATES
 Gurney, Austin L., Belmont, CA, UNITED STATES
 Pan, James, Belmont, CA, UNITED STATES
 Roy, Margaret Ann, San Francisco, CA, UNITED STATES
 Stewart, Timothy A., San Francisco, CA, UNITED STATES
 Tumas, Daniel, Orinda, CA, UNITED STATES
 Watanabe, Colin K., Moraga, CA, UNITED STATES
 Wood, William I., Hillsborough, CA, UNITED STATES

PA GENENTECH, INC. (U.S. corporation)

PI US2003170864 A1 20030911

AI 2001US-0866034 A1 20010525 (9)

RLI Continuation of Ser. No. 2000WO-US14941, filed on 30 May 2000, UNKNOWN

Continuation of Ser. No. 2000WO-US15264, filed on 2 Jun 2000, UNKNOWN

Continuation of Ser. No. 2000WO-US32678, filed on 1 Dec 2000, UNKNOWN

DT Utility

FS APPLICATION

LREP KNOBBE, MARTENS, OLSON AND BEAR, LLP, 2040 MAIN STREET, FOURTEENTH
 FLOOR, IRVINE, CA, 92614

CLMN Number of Claims: 21

ECL Exemplary Claim: 1

DRWN 18 Drawing Page(s)

LN.CNT 7716

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed to novel polypeptides and to nucleic acid molecules encoding those polypeptides. Also provided herein are vectors and host cells comprising those nucleic acid sequences, chimeric polypeptide molecules comprising the polypeptides of the present invention fused to heterologous polypeptide sequences, antibodies which bind to the polypeptides of the present invention and to methods for producing the polypeptides of the present invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 185335-87-9P

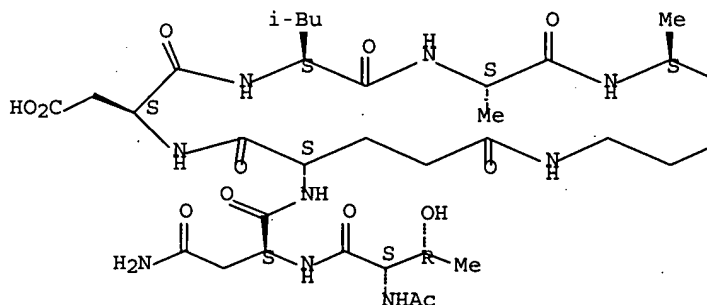
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RN 185335-87-9 USPTAFULL

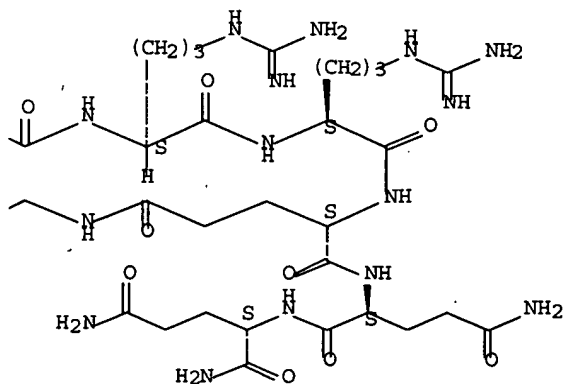
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(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



IT 185335-87-9P 185335-88-0P 185335-89-1P
185335-91-5P 185335-92-6P 185335-93-7P
207676-47-9P

(preparation of constrained helical peptides)

L16 ANSWER 2 OF 2 USPATFULL on STN

AN 2001:125963 USPATFULL Full-text

TI Constrained helical peptides and methods of making same

IN Braisted, Andrew C., San Francisco, CA, United States

Judice, J. Kevin, San Francisco, CA, United States

McDowell, Robert S., San Francisco, CA, United States

Phelan, J. Christopher, San Francisco, CA, United States

Starovasnik, Melissa A., Burlingame, CA, United States

Wells, James A., Burlingame, CA, United States

PA Genentech, Inc., South San Francisco, CA, United States (U.S. corporation)

PI US---6271198 B1 20010807

AI 1997US-0965056 19971105 (8)

RLI Continuation-in-part of Ser. No. 1997US-0876698, filed on 16 Jun 1997, now abandoned, said Ser. No. US 965056 And Ser. No. 1996US-0743698, filed on 6 Nov 1996

PRAI 1997US-049787P 19970616 (60)

DT Utility

FS GRANTED

EXNAM Primary Examiner: Jones, Dwayne C.; Assistant Examiner: Delacroix-Muirheid, C.

LREP Piper Marbury Rudnick & Wolfe LLP, Kelber, Steven B.

CLMN Number of Claims: 4

ECL Exemplary Claim: 1

DRWN 40 Drawing Figure(s); 34 Drawing Page(s)

LN.CNT 6260

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Provided are cyclized peptides with a constrained region(s) having an α -helical conformation. Constrained helical peptides having amino acid sequences from HIV gp41 are provided, as is their use in preparing antibodies that prevent viral membrane fusion. Also provided are methods for making such cyclized peptides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 185335-87-9P

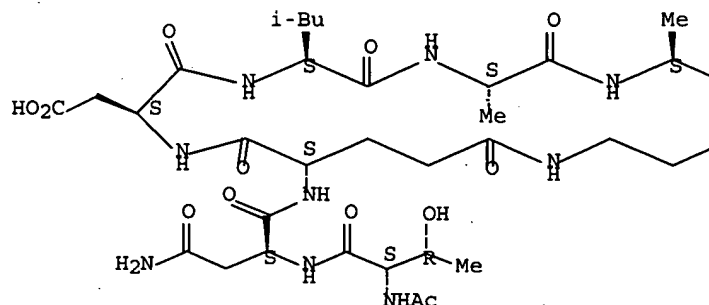
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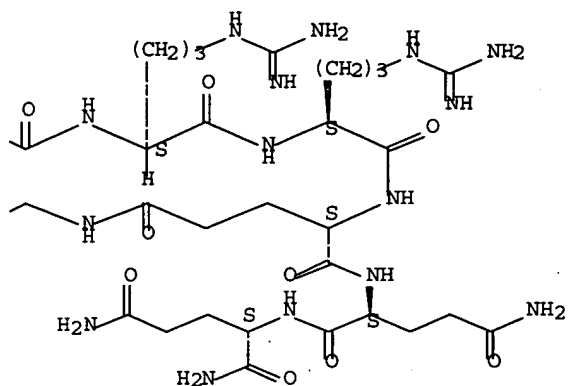
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(CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B



IT 185335-87-9P 185335-88-0P 185335-89-1P
 185335-91-5P 185335-92-6P 185335-93-7P
 207676-47-9P
 (preparation of constrained helical peptides)

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L8 1830 L3 AND SQL/FA

L9 9 L8 AND (CYCLIC OR BRIDGE?)/NTE

L10 7 L9 NOT 2/S

L11 2 L9 NOT L10

FILE 'HCAPLUS' ENTERED AT 09:41:09 ON 10 OCT 2006

L12 1 L6

L13 4 L11

L14 5 L12-13

FILE 'HCAOLD' ENTERED AT 09:42:48 ON 10 OCT 2006

L15 0 L6,L10

FILE 'REGISTRY' ENTERED AT 09:43:02 ON 10 OCT 2006

FILE 'USPATFULL, USPAT2' ENTERED AT 09:43:18 ON 10 OCT 2006

L16 2 L6,L10

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